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         JUN 01 CAS REGISTRY Source of Registration (SR) searching
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                 enhanced on STN
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<12/04/2007> Erich Leese

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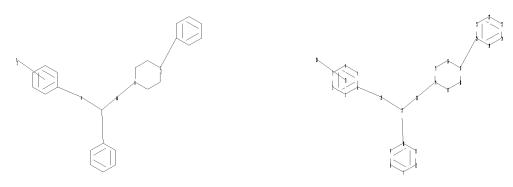
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```
chain nodes :
25 26 27 30
ring nodes :
1 \quad \overset{.}{2} \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 22 \quad 23
chain bonds :
6-25 10-27 14-26 17-20 25-27 26-27
ring bonds :
1 - 2 \quad 1 - 6 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 5 - 6 \quad 7 - 8 \quad 7 - 12 \quad 8 - 9 \quad 9 - 10 \quad 10 - 11 \quad 11 - 12 \quad 13 - 14 \quad 13 - 18
14-15 \quad 15-16 \quad 16-17 \quad 17-18 \quad 19-20 \quad 19-24 \quad 20-21 \quad 21-22 \quad 22-23 \quad 23-24
exact/norm bonds :
6-25 \quad 10-27 \quad 13-14 \quad 13-18 \quad 14-15 \quad 14-26 \quad 15-16 \quad 16-17 \quad 17-18 \quad 17-20 \quad 25-27 \quad 26-27
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 19-20 \quad 19-24
20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 7 : 13 : 19 :
```

G1:C, N

G2:CF2,CF3,CC12,CC13,CBr2,CBr3,X

G3:C,N

# Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 30:CLASS 31:Atom

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ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN T.3

2009:412461 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 151:496

TITLE: QSAR study of the 5-HT1A receptor affinities of

arylpiperazines using a genetic algorithm-artificial

neural network model

AUTHOR(S): Habibi-Yangjeh, Aziz

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, University of Mohaghegh Ardabili, Ardabil, Iran

SOURCE: Monatshefte fuer Chemie (2009), 140(5), 523-530

CODEN: MOCMB7; ISSN: 0026-9247

PUBLISHER: SpringerWienNewYork

DOCUMENT TYPE: Journal LANGUAGE: English

Genetic algorithm-multiparameter linear regression (GA-MLR) and genetic AΒ algorithm-artificial neural network (GA-ANN) models have been used for prediction of the 5-HT1A receptor affinities (pK i) of 66 arylpiperazines.

A large number of theor. descriptors were calculated for each compound The genetic

algorithm (GA) was used for selection of the variables that resulted in the best fit to the MLR and ANN models. The models were generated using seven descriptors as variables. For evaluation of the predictive power of the models, pK i values of 13 compds. in the prediction set were calculated Mean percentage deviation (MPD) for the GA-MLR and GA-ANN models were 0.344 and 0.065, resp. Comparison of the results obtained by use of the models reveals the GA-ANN model is superior to the GA-MLR model.

Graphical abstract

ΙT 328248-21-1 328248-24-4 328248-30-2 328248-36-8 753439-74-6 767277-20-3

777843-82-0

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR study of 5-HT1A receptor affinities of arylpiperazines using a genetic algorithm-artificial neural network model)

RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

$$\stackrel{\text{MeO}}{\longrightarrow} \stackrel{\text{N---}}{\longrightarrow} \text{CH}_2 - \text{CH}_2 - \text{CH}_0 - \text{O}$$

328248-24-4 CAPLUS RN

Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-CN (trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-30-2 CAPLUS

CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 753439-74-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 767277-20-3 CAPLUS

CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4- (trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΙT

L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:986436 CAPLUS

DOCUMENT NUMBER: 150:321888

TITLE: The structure-based 3D-QSAR study of MCH1 receptor

antagonists

AUTHOR(S): Lee, New Gil; Yoo, Seung-Eun; Kang, Nam Sook
CORPORATE SOURCE: Korea Research Institute of Chemical Technology,

Daejeon, S. Korea

SOURCE: Molecular Simulation (2008), 34(7), 699-705

CODEN: MOSIEA; ISSN: 0892-7022

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Melanin-concentrating hormone 1 receptor (MCH1-R) mediates the orexigenic effects

of melanin-concentrating hormone and its antagonist, are considered as a potential targets for the treatment of obesity. To design more potent and selective MCH1-R antagonists, at first, the authors built up the homol. structure of MCH1-R. Then, the authors carried out the receptor based 3 dimensional Quant. Structure Activity Relationship (3D-QSAR) using comparative mol. field anal. and Comparative Mol. Similarity Indexes Anal. (CoMSIA) for a series of scaffold of MCH1-R antagonists and the docking study for MCH1-R. These models are proved as statistically valid models with a good correlative and predictive power. Based on these models, the authors are going to develop more potent and selective MCH1-R antagonists. 1132777-99-1

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (structure-based 3D-QSAR study of MCH1 receptor antagonists as anti-obesity drugs)

RN 1132777-99-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/513699

L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:803320 CAPLUS

DOCUMENT NUMBER: 149:215113

TITLE: Two-dimensional QSAR studies on arylpiperazines as

high-affinity 5-HT1A receptor ligands

AUTHOR(S): Weber, Karen C.; Honorio, Kathia M.; Andricopulo,

Adriano D.; Da Silva, Alberico B. F.

CORPORATE SOURCE: Instituto de Quimica de Sao Carlos, Universidade de

Sao Paulo, Sao Carlos, 13560-970, Brazil

SOURCE: Medicinal Chemistry (2008), 4(4), 328-335

CODEN: MCEHAJ; ISSN: 1573-4064
PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB 5-HT1A receptor plays an important role in the delayed onset of antidepressant action of a class of selective serotonin reuptake inhibitors. Moreover, 5-HT1A receptor levels have been shown to be altered in patients suffering from major depression. In this work, hologram quant. structure-activity relationship (HQSAR) studies were performed on a series of arylpiperazine compds. presenting affinity to the 5-HT1A receptor. The models were constructed with a training set of 70 compds. The most significant HQSAR model (q2 = 0.81, r2 = 0.96) was generated using atoms, bonds, connections, chirality, and donor and acceptor as fragment distinction, with fragment size of 6-9. Predictions for an external test set containing 20 compds. are in good agreement with exptl. results showing the robustness of the model. Addnl., useful information can be obtained from the 2D contribution maps.

IT 328248-15-3 328248-21-1 328248-24-4

328248-30-2 328248-36-8 753439-74-6

767277-20-3 777843-82-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(two-dimensional QSAR studies on arylpiperazines as high-affinity 5-HT1A receptor ligands)

RN 328248-15-3 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-24-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-30-2 CAPLUS

CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 753439-74-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 767277-20-3 CAPLUS

CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4- (trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/513699

AUTHOR(S):

L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:767635 CAPLUS

DOCUMENT NUMBER: 149:324283

TITLE: Quantitative structure-affinity relationship of 5-HT1A

receptor ligands by the classification tree method Kuz'min, V. E.; Polischuk, P. G.; Artemenko, A. G.;

Makan, S. Yu.; Andronati, S. A.

CORPORATE SOURCE: A.V. Bogatsky Physical-Chemical Institute, National

Academy of Sciences of Ukraine, Odessa, Ukraine

SOURCE: SAR and QSAR in Environmental Research (2008),

19 (3-4), 213-244

CODEN: SQERED; ISSN: 1062-936X

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB The influence of mol. structure of 346 ligands on their affinity for 5-HT1A receptors was investigated. It was shown that the effectiveness of the proposed novel approach for interpretation of decision tree models compared favorably with the PLS method. In the context of the proposed approach, mol. fragments and their values of the relative influence on the affinity for 5-HT1A receptors were defined.

IT 328248-15-3 328248-21-1 328248-24-4 328248-30-2 328248-36-8 753439-74-6

767277-20-3 777843-82-0

RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)

(quant. structure-affinity relationship of 5-HT1A receptor ligands by the classification tree method)

RN 328248-15-3 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-24-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-30-2 CAPLUS

CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph} & \text{CF3} \\ \text{O}_2\text{N} & \text{N---} \text{CH}_2\text{---} \text{CH}_2\text{---} \text{CH} - \text{O} \end{array}$$

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 753439-74-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 767277-20-3 CAPLUS

CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:232006 CAPLUS

DOCUMENT NUMBER: 148:440268

TITLE: A chemometric study of the 5-HT1A receptor affinities

presented by arylpiperazine compounds

AUTHOR(S): Weber, Karen C.; da Silva, Alberico B. F.

CORPORATE SOURCE: Instituto de Quimica de Sao Carlos, Universidade de

Sao Paulo, Sao Carlos, 13566-590, Brazil

SOURCE: European Journal of Medicinal Chemistry (2008), 43(2),

364-372

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier Masson SAS

DOCUMENT TYPE: Journal LANGUAGE: English

AB Arylpiperazine compds. are promising 5-HT1A receptor ligands that can contribute for accelerating the onset of therapeutic effect of selective serotonin reuptake inhibitors. In the present work, the chemometric methods HCA, PCA, KNN, SIMCA and PLS were employed in order to obtain SAR and QSAR models relating the structures of arylpiperazine compds. to their 5-HT1A receptor affinities. A training set of 52 compds. was used to construct the models and the best ones were obtained with nine topol. descriptors. The classification and regression models were externally validated by means of predictions for a test set of 14 compds. and have presented good quality, as verified by the correctness of classifications, in the case of pattern recognition studies, and by the high correlation coeffs. (q2 = 0.76, r2 = 0.83) and small prediction errors for the PLS regression. Since the results are in good agreement with previous SAR studies, we can suggest that these findings can help in the search for 5-HT1A receptor ligands that are able to improve antidepressant treatment.

IT 328248-21-1 328248-24-4 328248-30-2 328248-36-8 753439-74-6 767277-20-3

777843-82-0

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chemometric study of 5-HT1A receptor affinities presented by

arylpiperazine compds. as possible antidepressants)

RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-24-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-30-2 CAPLUS

CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 753439-74-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 767277-20-3 CAPLUS

CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4- (trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)- (CA INDEX NAME)

RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:847178 CAPLUS

DOCUMENT NUMBER: 145:410017

TITLE: Synthesis of benzenepropanamine analogues as

non-detergent spermicides with antitrichomonas and

anticandida activities

AUTHOR(S): Kumar, S. T. V. S. Kiran; Sharma, Vishnu Lal; Kumar,

Manish; Shukla, Praveen Kumar; Tiwari, Pratibha; Jain, Rajeev Kumar; Maikhuri, Jagdamba Prasad; Singh, Divya;

Gupta, Gopal; Singh, Man Mohan

CORPORATE SOURCE: Division of Medicinal and Process Chemistry, Central

Drug Research Institute, Lucknow, 226001, India Bioorganic & Medicinal Chemistry (2006), 14(19),

6593-6600

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:410017

GΙ

SOURCE:

$$CH-CH_2-CH_2-N$$
 $N-Me$ 
 $F_3C$ 

Ι

AB Fifteen analogs of benzenepropanamine were synthesized and evaluated for their spermicidal as well as microbicidal activities against Trichomonas vaginalis and Candida spp. Several compds. showed appreciable dual activities. Compound I exhibited good spermicidal (MEC = 0.1%) along with substantial anticandidal (MIC = 0.05%) activities, while compds. 3 and 6 showed significant microbicidal activities with moderate spermicidal effect. The SAR of these structures is being discussed here in this communication. It is concluded that suitable structural modifications in this class of compds. at 3-amino position may lead to a potent spermicide with associated microbicidal activity.

IT 911811-08-0P 911811-09-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzenepropanamine analogs as non-detergent spermicides with antitrichomonas and anticandida activities)

RN 911811-08-0 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 911811-09-1 CAPLUS

CN Piperazine, 1-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

REFERENCE COUNT:

34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1143268 CAPLUS

DOCUMENT NUMBER: 144:63874

TITLE: Design and synthesis of long-chain arylpiperazines with mixed affinity for serotonin transporter (SERT)

and 5-HT1A receptor

AUTHOR(S): Perrone, Roberto; Berardi, Francesco; Colabufo, Nicola

A.; Lacivita, Enza; Larizza, Carmela; Leopoldo,

Marcello; Tortorella, Vincenzo

CORPORATE SOURCE: Dipartimento Farmaco-Chimico, Universita degli Studi

di Bari, Bari, 70125, Italy

SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(10),

1319-1327

CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER: Pharmaceutical Press

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:63874

AB A new generation of antidepressant agents could be represented by compds. with mixed activity as serotonin transporter (SERT) inhibitors and 5-HT1A receptor antagonists. We report here on the synthesis and evaluation of SERT and 5-HT1A receptor affinity of long-chain arylpiperazines obtained either by modifying 6-nitroquipazine into a long-chain arylpiperazine or by inserting a modified 6-nitroquipazine moiety or other structures endowed with SERT affinity into a long-chain arylpiperazine with 5-HT1A affinity. Among the compds. studied, 2-[4-(2-methoxyphenyl)piperazin-1-yl]-N-(6-nitro-2-quinolyl)ethylamine (21) and 1-(5-bromo-1,2,3,4-tetrahydronaphthalen-1-yl)-3-[4-(2-methoxyphenyl)-piperazin-1-yl]-1-propanone (24) showed good affinity values for SERT and 5-HT1A receptors (SERT: Ki (inhibition constant) = 71.8

and 62.8 nM; 5-HT1A Ki = 14.2 and 0.82 nM, resp.). IT 871739-17-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arylpiperazines with mixed affinity for serotonin transporter and 5-HT1A receptor)

RN 871739-17-2 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

IT 777843-82-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(arylpiperazines with mixed affinity for serotonin transporter and

## 10/513699

5-HT1A receptor)
RN 777843-82-0 CAPLUS
CN Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1103625 CAPLUS

DOCUMENT NUMBER: 143:387060

TITLE: Preparation of piperazine or piperidine derivatives as

serotonin reuptake inhibitors

INVENTOR(S): Pinney, Kevin G.; Miranda, Maria Graciela; Dorsey,

James Michael

PATENT ASSIGNEE(S): Baylor University, USA SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					)	DATE		APPLICATION NO.				DATE					
	2005094896 2005094896									WO 2005-US10356					20050328			
		CN, GE, LK, NO, SY, BW, AZ,	CO, GH, LR, NZ, TJ, GH, BY,	CR, GM, LS, OM, TM, GM, KG,	CU, HR, LT, PG, TN, KE, KZ,	CZ, HU, LU, PH, TR, LS, MD,	AU, DE, ID, LV, PL, TT, MW, RU, GR,	DK, IL, MA, PT, TZ, MZ, TJ,	DM, IN, MD, RO, UA, NA, TM,	DZ, IS, MG, RU, UG, SD, AT,	EC, JP, MK, SC, US, SL, BE,	EE, KE, MN, SD, UZ, SZ, BG,	EG, KG, MW, SE, VC, TZ, CH,	ES, KP, MX, SG, VN, UG, CY,	FI, KR, MZ, SK, YU, ZM, CZ,	GB, KZ, NA, SL, ZA, ZW, DE,	GD, LC, NI, SM, ZM, AM, DK,	ZW
EP	1732	MR, 610	NE,	SN,	TD, A2	TG,	BF, AP, 2006	EA, 1220	EP,	OA EP 2	005-	7307	78	ŕ	2	0050	328	
		IS, HR,	IT, LV,	LI, MK,	LT, YU	LU,	CZ, MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
PRIORIT	PRIORITY APPLN. INFO.:								US 2007-594105 US 2004-557069P WO 2005-US10356				P 20040326					
OTHER SOURCE(S): CA					CASI	KEAC	1 14	J:38	/060	; MA.	KPAT	143	:38/	U 6 U				

AB Title compds. I [X = F or CF3; Y = (CH2)n; n = 0-1; A = N or C; Ar = aryl] and their pharmaceutically acceptable salts, are prepared and disclosed as serotonin reuptake inhibitors. Thus, e.g., II was prepared by reduction of 1-phenyl-3-(4-phenyl-piperazin-1-yl)-propan-1-ol (preparation given) using sodium borohydride followed by coupling with 4-fluorophenol. The ability of I to inhibit [3H]5-HT uptake was evaluated using liquid scintillation spectroscopy and it was revealed that selected compds. of the invention possessed IC50 values in the range of 1.45 up to 9.56  $\mu M$ . I as serotonin reuptake inhibitors should prove useful in the treatment of depression. Pharmaceutical composition comprising I are disclosed.

ΙI

Ι

IT 158545-85-8P 691872-56-7P 691872-58-9P 691872-60-3P 691872-62-5P 691872-64-7P 691872-66-9P 866548-21-2P 866548-22-3P 866548-23-4P 866548-24-5P 866548-25-6P 866548-26-7P 866548-27-8P 866548-29-0P 866548-30-3P 866548-31-4P

866548-36-9P 866548-37-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine or piperidine derivs. as serotonin reuptake inhibitors)

RN 158545-85-8 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CH}-\text{O} \\ \\ & \text{Ph} & \\ \end{array}$$

RN 691872-56-7 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & \text{CH}_2-\text{CH}-\text{O} \end{array}$$

RN 691872-58-9 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-(CA INDEX NAME)

RN 691872-60-3 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 691872-62-5 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

● HCl

RN 691872-64-7 CAPLUS

Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-, CN hydrochloride (1:1) (CA INDEX NAME)

● HCl

691872-66-9 CAPLUS RN

Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-CN (trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$F_3C \qquad \qquad N - CH_2 - CH - O \qquad \qquad F$$

● HCl

RN

866548-21-2 CAPLUS
Piperazine, 1-[3-(4-fluorophenoxy)-3-phenylpropyl]-4-phenyl- (CA INDEX CN NAME)

RN 866548-22-3 CAPLUS

● HCl

RN 866548-23-4 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866548-24-5 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-phenyl- (CA INDEX NAME)

RN 866548-25-6 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866548-26-7 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)

RN 866548-27-8 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866548-28-9 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]- (CA INDEX NAME)

<12/04/2007>

RN 866548-29-0 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866548-30-3 CAPLUS

CN Piperazine, 1-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 866548-31-4 CAPLUS

CN Piperazine, 1-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 866548-36-9 CAPLUS

CN Piperazine, 1-phenyl-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-(CA INDEX NAME)

RN 866548-37-0 CAPLUS

CN Piperazine, 1-phenyl-4-[2-phenyl-2-[4-(trifluoromethyl)phenoxy]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:344622 CAPLUS

DOCUMENT NUMBER: 140:357212

TITLE: Preparation of substituted anilinic piperidines as MCH

selective antagonists

INVENTOR(S): Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.;

Jiang, Yu; Chen, Chien-An; Lu, Kai

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: U.S., 394 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6727264	B1	20040427	US 2002-188434	20020703	
US 20040073036	A1	20040415	US 2003-345063	20030114	
US 20060041139	A9	20060223			
US 7105544	В2	20060912			
US 7067534	В1	20060627	US 2003-719358	20031121	
US 20040186103	A1	20040923	US 2004-753057	20040106	
US 20060084649	A9	20060420			
US 7199135	B2	20070403			
US 20060217418	A1	20060928	US 2005-541991	20050705	
US 20070043080	A1	20070222	US 2005-214968	20050830	
PRIORITY APPLN. INFO.:			US 2001-303091P	P 20010705	
			US 2002-346997P	P 20020109	
			US 2002-188434	A2 20020703	
			WO 2002-US21063	A2 20020703	
			US 2003-345063	A2 20030114	
			US 2003-719358	A1 20031121	
			WO 2004-US175	W 20040106	

OTHER SOURCE(S): MARPAT 140:357212

GΙ

### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (W = III, IV (wherein R1 = H, Me, Et; X = O, NR3, CO, a bond; Y = H, (hetero)aryl; R3 = H, (hetero)aryl); R2 and A as above)] which are selective antagonists for melanin concentrating hormone-1 (MCH1) receptors,

were

prepared Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% V which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

Erich Leese

IT 387826-65-5P 387826-66-6P 387826-67-7P 387826-68-8P 387826-69-9P 387826-73-5P 387826-74-6P 387826-79-1P 387826-80-4P 387826-81-5P 387826-82-6P 387826-85-9P 487049-74-1P 487049-80-9P 487049-81-0P

<12/04/2007>

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487049-83-2P 487049-84-3P 487049-85-4P
487049-86-5P 487049-87-6P 487049-88-7P
487049-89-8P 487049-90-1P 487049-91-2P
487049-92-3P 487049-95-6P 487049-99-0P
487050-01-1P 487050-07-7P 487050-08-8P
487050-09-9P 487050-20-4P 487050-22-6P
487050-28-2P 487050-33-9P 487050-34-0P
487050-35-1P 487050-36-2P 487050-39-5P
487051-81-0P 487051-83-2P 487051-85-4P
487052-31-3P 487056-49-5P 487057-25-0P
487057-26-1P 487057-32-9P 487057-33-0P
487057-35-2P 487057-36-3P 487057-37-4P
487057-38-5P 487057-40-9P 487057-41-0P
487057-45-4P 487057-47-6P 487057-49-8P
487057-50-1P 487057-51-2P 487057-52-3P
487057-53-4P 487057-55-6P 487057-56-7P
487057-57-8P 487057-59-0P 487057-60-3P
487057-62-5P 487057-65-8P 487057-66-9P
487057-67-0P 487057-68-1P 487057-70-5P
487057-71-6P 487057-72-7P 487057-73-8P
487057-76-1P 488098-61-9P 488098-62-0P
488098-63-1P 488098-64-2P 488098-65-3P
488098-67-5P 488098-69-7P 488098-70-0P
488098-71-1P 488098-72-2P 488098-73-3P
488098-74-4P 488098-76-6P 488098-77-7P
488098-78-8P 488098-79-9P 488098-81-3P
488098-82-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
```

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-67-7 CAPLUS

CN Propanamide,  $2-\text{methyl-N-[}3-[1-[(3S)-3-\text{phenyl-}3-[4-(trifluoromethyl)phenoxy]propyl]-}4-piperidinyl]phenyl]- (CA INDEX NAME)$ 

Absolute stereochemistry.

RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-73-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-80-4 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487049-74-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenyl)-3-(3,4-difluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-80-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-81-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-(2,3,4,5,6-pentafluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-83-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-84-3 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-85-4 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \\ \text{Cl} & \\ \text{O-CH-CH}_2\text{-CH}_2\text{--N} & \\ \text{NH-C-Pr-i} \end{array}$$

RN 487049-86-5 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-87-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(3-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-88-7 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-fluorophenyl)propyl]-4piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN

487049-89-8 CAPLUS Propanamide, N-[3-[1-[3-(3-fluorophenoxy)-3-(4-fluorophenyl)propyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

487049-90-1 CAPLUS RN

Propanamide, N-[3-[1-[3-(2,6-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ \hline & & & & \\ \hline & \\ \hline & & \\ \hline & & \\ \hline & \\ \hline & & \\ \hline & \\ \hline & & \\$$

RN 487049-91-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,5-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-92-3 CAPLUS

CN Propanamide, N-[3-[1-[3-(3-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-95-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-99-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenyl)-3-(2-chloro-4-methylphenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Me O CH 
$$\sim$$
 CH  $\sim$  CH

RN 487050-01-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Br} \\ \\ \text{O-CH-CH}_2\text{-CH}_2\text{-N} \\ \end{array}$$

RN 487050-07-7 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-bromophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-08-8 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromopheny1)-3-(4-chlorophenoxy)propy1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-09-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromopheny1)-3-(4-fluorophenoxy)propy1]-4-piperidiny1]pheny1]-2-methyl- (CA INDEX NAME)

RN 487050-20-4 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-chlorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-22-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-chlorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{O} \\ \text{O-CH-CH}_2\text{-CH}_2\text{--N} \end{array}$$

RN 487050-28-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{O} \\ \text{CH} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \\ \end{array}$$

RN 487050-33-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-34-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-35-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chloropheny1)-3-(4-fluorophenoxy)propy1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} \\ \text{O} \\ \text{O-CH-CH}_2\text{-CH}_2\text{-N} \end{array}$$

RN 487050-36-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-39-5 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487051-81-0 CAPLUS

CN Propanamide, N-[3-[1-[(2S)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487051-83-2 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(4-fluorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487051-85-4 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487052-31-3 CAPLUS

CN Propanamide, N-[3-[1-[4-(4-chlorophenoxy)-4-(4-chlorophenyl)butyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487056-49-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487057-25-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-26-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-32-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-33-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-35-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-chlorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-36-3 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-37-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-38-5 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-40-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-41-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-chlorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-45-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-47-6 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-49-8 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-50-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-51-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(3-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-52-3 CAPLUS

CN Propanamide, N-[3-[1-[6-[2-fluoro-5-(trifluoromethyl)phenoxy]-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-53-4 CAPLUS

CN Propanamide, N-[3-[1-[7-(2-fluorophenyl)-7-[2-fluoro-5-(trifluoromethyl)phenoxy]heptyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-55-6 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-56-7 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-chlorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-57-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{C1} \\ & \text{O} & \text{I-Pr-C-NH} \end{array}$$

RN 487057-59-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chloropheny1)-5-(4-fluorophenoxy)penty1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-60-3 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & \text{Ph} &$$

RN 487057-62-5 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-65-8 CAPLUS

CN Propanamide, N-[3-[1-[5-[2-fluoro-5-(trifluoromethyl)phenoxy]-5-[4-(trifluoromethyl)phenyl]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-66-9 CAPLUS CN Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-

(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-67-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-68-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-(CA INDEX NAME)

RN 487057-70-5 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-71-6 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-72-7 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-chlorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-73-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-76-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 488098-61-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-62-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-63-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-64-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-65-3 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-67-5 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-69-7 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-70-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

488098-71-1 CAPLUS RN

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-chlorophenyl)pentyl]-4piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

488098-72-2 CAPLUS RN

Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN

488098-73-3 CAPLUS Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-(4-fluorophenoxy)pentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-74-4 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

488098-76-6 CAPLUS RN

Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN

488098-77-7 CAPLUS Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-CN (trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-78-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-79-9 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-81-3 CAPLUS

<12/04/2007>

CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN

488098-82-4 CAPLUS Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-CN (trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS 25 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

T.3

```
ANSWER 10 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
                         2004:170822 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         140:417233
TITLE:
                         Synthesis and biological evaluation of
                         2-(4-fluorophenoxy)-2-phenyl-ethyl piperazines as
                         serotonin-selective reuptake inhibitors with a
                         potentially improved adverse reaction profile
AUTHOR(S):
                         Dorsey, James M.; Miranda, Maria G.; Cozzi, Nicholas
                         V.; Pinney, Kevin G.
CORPORATE SOURCE:
                         Department of Chemistry and Biochemistry and The
                         Center for Drug Discovery, Baylor University, Waco,
                         TX, 76798-7348, USA
                         Bioorganic & Medicinal Chemistry (2004), 12(6),
SOURCE:
                         1483-1491
                         CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:
                         Elsevier Ltd.
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
OTHER SOURCE(S):
                         CASREACT 140:417233
     Three new 2-(4-fluorophenoxy)-2-phenyl-Et piperazines,
     1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]-piperazine,
     1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-piperazine, and
     1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(3-trifluoromethylphenyl)-
     piperazine, modeled after the potent antidepressant fluoxetine and coupled
     with several functionalized piperazines, have been prepared by chemical
     synthesis as selective serotonin reuptake inhibitors (SSRIs) with a
     potentially improved adverse reaction profile. Typical SSRIs, although
     very effective in the treatment of depression, still face the troublesome
     side effect of sexual dysfunction. A number of pharmacol. agents-notably,
     drugs in the piperazine class-have been used to reverse SSRI-induced
     sexual dysfunction, and evidence for developing an improved SSRI by
     coupling a fluoxetine congener with the pharmacophore of a reversal agent
     holds promise. Preliminary data indicates that the hydrochloride (HCl)
     salts of piperazines exhibit single-site binding at the site of the
     serotonin reuptake transporter (SERT). However, each of the three compds.
     are much less potent than typical SSRIs, showing micromolar (\mu M)
     affinity for the SERT with IC50 values of 1.45 \mu\text{M}, 3.27 \mu\text{M}, and 9.56
     \mu\text{M}, resp. Further biol. evaluation of piperazine compds. is needed
     before definitive conclusions can be made with regard to each compound's
     potential for use as an SSRI-type candidate which is devoid of sexual side
     effects. Nevertheless, the initial findings are quite encouraging, thus
     lending credence to the idea of hybridizing an SSRI congener with that of
     the pharmacophore of an agent known to reverse or treat SSRI-induced
     sexual dysfunction.
     691872-62-5P 691872-64-7P 691872-66-9P
ΤТ
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (synthesis and structure-activity relationship of
        2-(4-fluorophenoxy)-2-Ph-Et piperazines as serotonin-selective reuptake
        inhibitors with a potentially improved adverse reaction profile)
RN
     691872-62-5 CAPLUS
     Piperazine, 1-(3-\text{chlorophenyl})-4-[2-(4-\text{fluorophenoxy})-2-\text{phenylethyl}]-,
     hydrochloride (1:1) (CA INDEX NAME)
```

$$\begin{array}{c|c} & \text{Ph} & \\ & &$$

● HCl

RN 691872-64-7 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 691872-66-9 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$F_3C \qquad \qquad N - CH_2 - CH - O \qquad \qquad F$$

● HCl

IT 691872-56-7P 691872-58-9P 691872-60-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and structure-activity relationship of

2-(4-fluorophenoxy)-2-Ph-Et piperazines as serotonin-selective reuptake inhibitors with a potentially improved adverse reaction profile)

RN 691872-56-7 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(4-fluorophenoxy)-2-phenylethyl]- (CA INDEX NAME)

RN 691872-58-9 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-(2-methoxyphenyl)-(CA INDEX NAME)

RN 691872-60-3 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenoxy)-2-phenylethyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN L3 2004:162444 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 140:212060 DNA encoding a human melanin concentrating hormone TITLE: receptor (MCH1) and uses thereof and preparation of 4-phenylpiperidine derivatives as human MCH1 receptor antagonists INVENTOR(S): Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.; Craig, Douglas A. PATENT ASSIGNEE(S): SOURCE: U.S. Pat. Appl. Publ., 180 pp., Cont.-in-part of U.S. Ser. No. 899,732. CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ US 2003-341751 A1 US 20040038855 20040226 20030114 WO 1999-US31169 WO 2000039279 A2 20000706 19991230 WO 2000039279 АЗ 20001102 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 20030082623 A1 20030501 US 2001-899732 20010705 WO 2004-US724 WO 2004064774 A2 20040805 20040114 WO 2004064774 А3 20061005 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO::

WO 1999-US31169

A2 19991230

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

US 2000-610635 B2 20000705 US 2001-899732 A2 20010705 US 1998-224426 A2 19981231 US 2003-341751 A 20030114

GΙ

AΒ This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention further provides a method of treating a subject suffering from urinary incontinence which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's urinary incontinence or overactive bladder. Various 4-phenylpiperidine derivs., e.g (I), were synthesized and tested as human MCH1 receptor antagonists. ΙT 387826-65-5P, N-[3-[1-[(3S)-3-(3-Chlorophenoxy)-3-phenylpropyl]-4piperidinyl]phenyl]-2-methylpropanamide 387826-66-6P, N-[3-[1-[(3S)-3-(4-Chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2methylpropanamide 387826-67-7P, 2-Methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4piperidinyl]phenyl]propanamide 387826-68-8P, N-[3-[1-[(3R)-3-(2,5-Difluorophenoxy)-3-phenylpropyl]-4piperidinyl]phenyl]-2-methylpropanamide 387826-69-9P, N-[3-[1-[(3R)-3-(3,4-Dichlorophenoxy)-3-phenylpropy1]-4piperidinyl]phenyl]-2-methylpropanamide 387826-73-5P, N-[3-[1-[(3S)-3-(4-Fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2methylpropanamide 387826-74-6P, N-[3-[1-[(3S)-3-(4-Bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2methylpropanamide 387826-79-1P, N-[3-[1-[(3R)-3-[2-Fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4piperidinyl]phenyl]-2-methylpropanamide 387826-80-4P, N-[3-[1-[(3S)-3-[2-Fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4piperidinyl]phenyl]-2-methylpropanamide 387826-81-5P, N-[3-[1-[(3S)-3-(2,5-Difluorophenoxy)-3-phenylpropyl]-4piperidinyl]phenyl]-2-methylpropanamide 387826-82-6P, N-[3-[1-[(3R)-3-(3-Chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2methylpropanamide 387826-85-9P, N-[3-[1-[(3S)-3-(2-Fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2methylpropanamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(DNA encoding human melanin concentrating hormone receptor (MCH1) and uses thereof and preparation of phenylpiperidine derivs. as human MCH1 antagonists)  ${}^{\prime}$ 

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

<12/04/2007>

RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-73-5 CAPLUS

<12/04/2007>

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-80-4 CAPLUS RN

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethy1)phenoxy]-3phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN

387826-81-5 CAPLUS Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-82-6 CAPLUS RN

Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:334519 CAPLUS

DOCUMENT NUMBER: 138:298124

TITLE: Human melanin concentrating hormone receptor MCH1, its

DNA, its synthetic ligands and diagnostic and

therapeutic uses thereof

INVENTOR(S): Borowsky, Beth; Blackburn, Thomas P.; Ogozalek,

Kristine

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 193 pp., Cont.-in-part of U.S.

Ser. No. 610,635.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

	PAT	PATENT NO.					D	DATE  20030501								20010705			
						A1													
	US	US 6221613				В1	B1 20010424			US 1998-224426									
	WO	WO 2000039279				A2	20000706			WO 1999-US31169					19991230				
	WO	2000039279			А3		20001102												
		W:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
			CZ,	DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	
											LK,								
			MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	
			SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW.	•	•	
		RW:	,	,	,	,	,	,	,	,	TZ,	,	,	,	,		CY,	DE,	
			•	•	•	•	•	•	•	•	LU,	•	•	•	•	•	•	•	
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG	·	•	•	·	
						A1		20030424 US 2001-29314								20011220			
						A1		20040226 US 2003-341751								20030114			
PRIC	PRIORITY APPLN. INFO.:							US 1998-224426							A2 19981231				
											WO 1						9991		
	US 2000-610635									-		0000							
											US 2						0010		

This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequences of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amount of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.

IT 387826-65-5P 387826-66-6P 387826-67-7P 387826-68-8P 387826-69-9P 387826-73-5P

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387826-74-6P 387826-79-1P 387826-80-4P
387826-81-5P 387826-82-6P 387826-85-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)
RN 387826-65-5 CAPLUS
CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)
```

Absolute stereochemistry.

RN 387826-66-6 CAPLUS
CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-67-7 CAPLUS
CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-73-5 CAPLUS

<12/04/2007>

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-80-4 CAPLUS RN

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethy1)phenoxy]-3phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN

387826-81-5 CAPLUS Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-82-6 CAPLUS RN

Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-85-9 CAPLUS CN

Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:42108 CAPLUS

DOCUMENT NUMBER: 138:106601

TITLE: Preparation of substituted anilinic piperidines as MCH

selective antagonists

INVENTOR(S): Marzabadi, Mohammad R.; Wetzel, John; Deleon, John E.;

Jiang, Yu

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 771 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.								
	2003 W:	0040 AE, CO, GM, LS, PL, UA, GH,	AG, CR, HR, LT, PT, UG, GM,	AL, CU, HU, LU, RO, UZ, KE,	CZ, ID, LV, RU, VN, LS,	AT, DE, IL, MA, SD, YU,	2003 AU, DK, IN, MD, SE, ZA, MZ,	O116 AZ, DM, IS, MG, SG, ZM, SD,	BA, DZ, JP, MK, SI, ZW	WO BB EC KE MN SK	2002- , BG, , EE, , KG, , MW, , SL,	US21 BR, ES, KP, MX, TJ,	063 BY, FI, KR, MZ, TM,	BZ, GB, KZ, NO, TN,	2 CA, GD, LC, NZ, TR,	GE, LK, OM, TT,	CN, GH, LR, PH, TZ,
		PT,		SK,	TR,				•		, GB, , CM,						•
AU AU	2002 2002	2002316531				A1 20030116 A1 20030121 B2 20070913 A1 20040428								20020703			
BR JP HU ZA CN NZ US US US MX NO US	R: AT, BE, CH, IE, SI, LT, BR 2002010869 JP 2004536104 HU 2004001880 ZA 2003009860 CN 1671386 NZ 530221				DE, LV, A T A2 A A A1 A9 B2 A A	DK, FI,	20040 20040 20050 20050 20050 20060 20060 20060 20060 20040 20040 20040 20040 20040		GB, CY,	GR AL BR JP HU ZA CN NZ US MX NO	N 2002-817212 Z 2002-530221 S 2003-345063			NL, EE,	SE, SK 2 2 2 2 2 2 2 2	SE, MC, PT, SK 20020703 20020703 20020703 20020703 20020703 20020703 20030114	
IN PRIORIT		CN00 LN.	INFO	.:	B2 A		2007	1209		US US US US US WO	2004- 2001- 2002- 2001- 2002- 2002- 2002- 2003-	8997 4258 3030 3469 1884 US21	94 2 91P 97P 34 063	-	A 2 A 2 P 2 P 2 A2 2 W 2	0040 0010 0020 0010 0020 0020 0020 0030	705 109 705 109 703 703
OTHER S	HER SOURCE(S):				MAR:	MARPAT 138:1066											

GΙ

ΙT

$$\begin{array}{c|c}
R^1 & & \\
0 & & \\
NH & \\
0 & \\
R^2 & II
\end{array}$$

AB The title compds. [I (R1 = H, alkyl, aryl, etc.; R2 = alkyl, cyclopropyl; R3 = (un)substituted (hetero)aryl; A = H, F, Cl, Br, CN, etc.; X = O, NH; n = 0-5), II (R1 = (un)substituted (hetero)aryl; R2, A, n as above ), etc.] which are selective antagonists for melanin concentrating hormone-1 (MCH1)

receptors, were prepared and formulated. Thus, reacting 2-methyl-N-[3-(4-piperidinyl)phenyl]propanamide (preparation given) with 4-chloro-3',4'-dimethylbutyrophenone in the presence of K2CO3 and NaI in DMF afforded 80% II [R1 = R1 = 3,4-Me2C6H3; R2 = iso-Pr; A = H; n = 2] which showed Ki of 3.9 nM in cloned rat MCH1 binding assay.

387826-65-5P 387826-66-6P 387826-67-7P 387826-68-8P 387826-69-9P 387826-73-5P 387826-74-6P 387826-79-1P 387826-80-4P 387826-81-5P 387826-82-6P 387826-85-9P 487049-74-1P 487049-80-9P 487049-81-0P 487049-83-2P 487049-84-3P 487049-85-4P 487049-86-5P 487049-87-6P 487049-88-7P 487049-89-8P 487049-90-1P 487049-91-2P 487049-92-3P 487049-95-6P 487049-99-0P 487050-01-1P 487050-07-7P 487050-08-8P 487050-09-9P 487050-20-4P 487050-22-6P 487050-28-2P 487050-33-9P 487050-34-0P 487050-35-1P 487050-36-2P 487050-39-5P 487051-81-0P 487051-83-2P 487051-85-4P 487052-31-3P 487056-49-5P 487057-25-0P 487057-26-1P 487057-32-9P 487057-33-0P 487057-35-2P 487057-36-3P 487057-37-4P 487057-38-5P 487057-40-9P 487057-41-0P

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      487057-45-4P
      487057-47-6P
      487057-49-8P

      487057-50-1P
      487057-51-2P
      487057-52-3P

      487057-53-4P
      487057-55-6P
      487057-56-7P

      487057-57-8P
      487057-65-8P
      487057-66-9P

      487057-67-0P
      487057-68-1P
      487057-70-5P

      487057-71-6P
      487057-72-7P
      487057-73-8P

      487057-76-1P
      488098-61-9P
      488098-62-0P

      488098-63-1P
      488098-64-2P
      488098-65-3P

      488098-71-1P
      488098-72-2P
      488098-73-3P

      488098-74-4P
      488098-76-6P
      488098-77-7P

      488098-78-8P
      488098-79-9P
      488098-81-3P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted anilinic piperidines as MCH selective antagonists)

RN 387826-65-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-66-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-67-7 CAPLUS

CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-73-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-80-4 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-81-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-82-6 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487049-74-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenyl)-3-(3,4-difluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} \\ \\ \text{O} \\ \text{O-CH-CH}_2\text{-CH}_2\text{-N} \end{array}$$

RN 487049-80-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-81-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-(2,3,4,5,6-pentafluorophenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-83-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-84-3 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-85-4 CAPLUS

CN Propanamide, N-[3-[1-[3-(3,4-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \\ \text{Cl} & \\ \text{O-CH-CH}_2\text{-CH}_2\text{--N} & \\ \text{NH-C-Pr-i} \end{array}$$

RN 487049-86-5 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-87-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(3-bromophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-88-7 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-fluorophenyl)propyl]-4piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN

487049-89-8 CAPLUS Propanamide, N-[3-[1-[3-(3-fluorophenoxy)-3-(4-fluorophenyl)propyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

487049-90-1 CAPLUS RN

Propanamide, N-[3-[1-[3-(2,6-dichlorophenoxy)-3-(4-fluorophenyl)propyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ \hline & & & & \\ \hline & \\ \hline & & \\ \hline & & \\ \hline & \\ \hline & &$$

RN 487049-91-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,5-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-92-3 CAPLUS

CN Propanamide, N-[3-[1-[3-(3-chlorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-95-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(2,4-difluorophenoxy)-3-(4-fluorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487049-99-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenyl)-3-(2-chloro-4-methylphenoxy)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Me O CH 
$$\sim$$
 CH  $\sim$  CH

RN 487050-01-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Br} \\ \\ \text{O-CH-CH}_2\text{-CH}_2\text{-N} \\ \end{array}$$

RN 487050-07-7 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-bromophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-08-8 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromopheny1)-3-(4-chlorophenoxy)propy1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-09-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromopheny1)-3-(4-fluorophenoxy)propy1]-4-piperidiny1]pheny1]-2-methyl- (CA INDEX NAME)

RN 487050-20-4 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-chlorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-22-6 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-chlorophenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{O} \\ \text{O-CH-CH}_2\text{-CH}_2\text{--N} \end{array}$$

RN 487050-28-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{O} \\ \text{CH} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \\ \end{array}$$

RN 487050-33-9 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-bromophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-34-0 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-35-1 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chloropheny1)-3-(4-fluorophenoxy)propy1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-36-2 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-fluorophenoxy)-3-(4-methoxyphenyl)propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487050-39-5 CAPLUS

CN Propanamide, N-[3-[1-[3-(4-chlorophenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487051-81-0 CAPLUS

CN Propanamide, N-[3-[1-[(2S)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487051-83-2 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(4-fluorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487051-85-4 CAPLUS

CN Propanamide, N-[3-[1-[(2R)-2-(3-chlorophenoxy)-2-phenylethyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487052-31-3 CAPLUS

CN Propanamide, N-[3-[1-[4-(4-chlorophenoxy)-4-(4-chlorophenyl)butyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487056-49-5 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 487057-25-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-26-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-32-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-33-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-35-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-chlorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-36-3 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-37-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-38-5 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-40-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-41-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-bromophenoxy)-6-(4-chlorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-45-4 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-47-6 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-49-8 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-50-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-51-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(3-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-52-3 CAPLUS

CN Propanamide, N-[3-[1-[6-[2-fluoro-5-(trifluoromethyl)phenoxy]-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-53-4 CAPLUS

CN Propanamide, N-[3-[1-[7-(2-fluorophenyl)-7-[2-fluoro-5-(trifluoromethyl)phenoxy]heptyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-55-6 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-56-7 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-chlorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-57-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{C1} \\ & \text{O} & \text{I-Pr-C-NH} \end{array}$$

RN 487057-59-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chloropheny1)-5-(4-fluorophenoxy)penty1]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-60-3 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & \text{Ph} &$$

RN 487057-62-5 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-65-8 CAPLUS

CN Propanamide, N-[3-[1-[5-[2-fluoro-5-(trifluoromethyl)phenoxy]-5-[4-(trifluoromethyl)phenyl]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-66-9 CAPLUS CN Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-

(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-67-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-68-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-(CA INDEX NAME)

RN 487057-70-5 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-71-6 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-72-7 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-chlorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-73-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 487057-76-1 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-phenylpentyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 488098-61-9 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(2-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-62-0 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-63-1 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-(4-fluorophenyl)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-64-2 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenyl)-6-(4-fluorophenoxy)hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-65-3 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-chlorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-67-5 CAPLUS

CN Propanamide, N-[3-[1-[6-(4-fluorophenoxy)-6-phenylhexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-69-7 CAPLUS

CN Propanamide, N-[3-[1-[6-(2-fluorophenyl)-6-[2-fluoro-5-(trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-70-0 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-(4-fluorophenyl)pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

488098-71-1 CAPLUS RN

CN Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-(4-chlorophenyl)pentyl]-4piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

488098-72-2 CAPLUS RN

Propanamide, N-[3-[1-[5-(4-chlorophenoxy)-5-phenylpentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN

488098-73-3 CAPLUS Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-(4-fluorophenoxy)pentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-74-4 CAPLUS

CN Propanamide, N-[3-[1-[5-(4-bromophenoxy)-5-phenylpentyl]-4piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

488098-76-6 CAPLUS RN

Propanamide, N-[3-[1-[5-(4-fluorophenoxy)-5-(4-fluorophenyl)pentyl]-4-CN piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN

488098-77-7 CAPLUS Propanamide, N-[3-[1-[5-(3-chlorophenyl)-5-[2-fluoro-5-CN (trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-78-8 CAPLUS

CN Propanamide, N-[3-[1-[5-(2-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-79-9 CAPLUS

CN Propanamide, N-[3-[1-[5-(3-fluorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 488098-81-3 CAPLUS

<12/04/2007>

CN Propanamide, N-[3-[1-[5-(4-chlorophenyl)-5-[2-fluoro-5-(trifluoromethyl)phenoxy]pentyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN

488098-82-4 CAPLUS Propanamide, N-[3-[1-[6-(4-fluorophenyl)-6-[2-fluoro-5-CN (trifluoromethyl)phenoxy]hexyl]-4-piperidinyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:31619 CAPLUS

DOCUMENT NUMBER: 136:96697

TITLE: Human melanin concentrating hormone receptor MCH1, its

DNA, its synthetic ligands and diagnostic and

therapeutic uses thereof

INVENTOR(S): Salon, John A.; Laz, Thomas M.; Nagorny, Raisa;

Wilson, Amy E.

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 524 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

	PATENT NO.				KIND		DATE		APPLICATION NO.					DATE				
	WO	O 2002002744 O 2002002744			A2		20020110		WO 2001-US21350					20010705				
	WO				АЗ	43 20020808												
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,
			VN,	YU,	ZA,	ZW												
		RW:	GH,	GM,	KΕ,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
	CA 2384358			A1 20020110			CA 2001-2384358					20010705						
	EP 1246847			A2	A2 20021009			EP 2001-952456					20010705					
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	JP 2004502423				T		2004	0129		JP 2	002-	5079	86		2	0010	705	
PRIORITY APPLN. INFO.:									US 2	000-	6106	35		A 2	0000	705		
											WO 2	001-	US21.	350	1	W 2	0010	705

AB This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequence of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of determining binding

of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amount of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.

IT 387826-65-5P 387826-66-6P 387826-67-7P 387826-68-8P 387826-69-9P 387826-73-5P

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387826-74-6P 387826-79-1P 387826-80-4P
387826-81-5P 387826-82-6P 387826-85-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)
RN 387826-65-5 CAPLUS
CN Propanamide, N-[3-[1-[(3S)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)
```

Absolute stereochemistry.

RN 387826-66-6 CAPLUS
CN Propanamide, N-[3-[1-[(3S)-3-(4-chlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-67-7 CAPLUS
CN Propanamide, 2-methyl-N-[3-[1-[(3S)-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-4-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-68-8 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-69-9 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-(3,4-dichlorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-73-5 CAPLUS

<12/04/2007>

CN Propanamide, N-[3-[1-[(3S)-3-(4-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-74-6 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(4-bromophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-79-1 CAPLUS

CN Propanamide, N-[3-[1-[(3R)-3-[2-fluoro-5-(trifluoromethyl)phenoxy]-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-80-4 CAPLUS RN

CN Propanamide, N-[3-[1-[(3S)-3-[2-fluoro-5-(trifluoromethy1)phenoxy]-3phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN

387826-81-5 CAPLUS Propanamide, N-[3-[1-[(3S)-3-(2,5-difluorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

387826-82-6 CAPLUS RN

Propanamide, N-[3-[1-[(3R)-3-(3-chlorophenoxy)-3-phenylpropyl]-4-CN piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 387826-85-9 CAPLUS

CN Propanamide, N-[3-[1-[(3S)-3-(2-fluorophenoxy)-3-phenylpropyl]-4-piperidinyl]phenyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/513699

L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:76 CAPLUS DOCUMENT NUMBER: 134:207795

TITLE: New 1-aryl-3-(4-arylpiperazin-1-yl)propane

derivatives, with dual action at 5-HT1A serotonin receptors and serotonin transporter, as a new class of

antidepressants

AUTHOR(S): Martinez-Esparza, Javier; Oficialdequi, Ana-M.;

Perez-Silanes, Silvia; Heras, Begona; Orus, Lara; Palop, Juan-A.; Lasheras, Berta; Roca, Joan; Mourelle, Marisa; Bosch, Ana; Del Castillo, Juan-C.; Tordera,

Rosa; Del Rio, Joaquin; Monge, Antonio

CORPORATE SOURCE: Departments of Medicinal Chemistry and Pharmacology

Centro de Investigacion en Farmacobiologia Aplicada (CIFA), Universidad de Navarra, Pamplona, 31080, Spain

SOURCE: Journal of Medicinal Chemistry (2001), 44(3), 418-428

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:207795

GΙ

AB In a search toward new and efficient antidepressants, 1-aryl-3-(4-arylpiperazin-1-yl) propane derivs. I (R = H, Ph, MeO, NO2, Z = CO, CHOH, CHOR1, R1 = 4-F3CC6H4, 4-MeOC6H4, 3,4-OCH3OC6H3, Ar1 =

2-MeOC6H4, 4-ClC6H4, 2-pyridyl, etc.), II (R = H, 2,5-Me2, 5-Me, 5-NO2, Z = CO, CNOH, CHOH, CHOR1, R1 = 4-F3CC6H4, 3,4-OCH2OC6H3, 1-C10H7, position = 2, 3), III and IV (Ar1 = 2-MeOC6H4, 4-ClC6H4, 2-HOC6H4, Z = CO,CHOH) were designed, synthesized, and evaluated for 5-HT reuptake inhibition and 5-HT1A receptor antagonism. This dual pharmacol. profile should lead, in principle, to a rapid and pronounced enhancement in serotoninergic neurotransmission and consequently to a more efficacious treatment of depression. The design was based on coupling structural moieties related to inhibition of serotonin reuptake, such as  $\gamma$ -phenoxypropylamines, to arylpiperazines, typical 5-HT1A ligands. In binding studies, several compds. showed affinity at the 5-HT transporter and 5-HT1A receptors. Antidepressant-like activity was initially assayed in the forced swimming test with those compds. with Ki < 200 nM in both binding studies. Functional characterization was performed by measuring the intrinsic effect on rectal temperature in mice and also the antagonism to 8-OH-DPAT-induced hypothermia. The most efficacious compds. II (R = H, Z = CHO-1-C10H7, position = 3, Ar1 = 2-MeOC6H4) (V), II[R = 5-Me, Z = 1](E)-CNOH, position = 2, Ar1 = 2-MeOC6H4] and IV (Z = CO, CHOH, Ar1 = 2-MeOC6H4) 2-MeOC6H4) (VI) were further explored for their ability to antagonize 8-OH-DPAT-induced inhibition of forskolin-stimulated cAMP formation in a cell line expressing the 5-HT1A receptor. Furthermore, the antidepressant-like properties of V and VI, which exhibited 5-HT1A receptor antagonistic property in the latter study, were also evaluated in the learned helplessness test in rats. Among these three compds., VI (Z = CHOH) (1-benzo[b]thiophene-3-y1)-3-[4-(2-methoxypheny1)-1-y1propan-1-o1] showed the higher affinity at both the  $5-\mathrm{HT}$  transporter and  $5-\mathrm{HT1A}$ receptors (Ki = 20 nM in both cases) and was also active in the other pharmacol. tests. Such a pharmacol. profile could lead to a new class of antidepressants with a dual mechanism of action and a faster onset of action. 328248-11-9P 328248-15-3P 328248-21-1P

CN Piperazine, 1-(2-me)

Piperazine, 1-(2-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

## 10/513699

RN 328248-15-3 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-21-1 CAPLUS

CN Piperazine, 1-(4-methoxyphenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-24-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-26-6 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 328248-30-2 CAPLUS

CN Piperazine, 1-(4-nitrophenyl)-4-[3-phenyl-3-[4-nitrophenyl])

(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

RN 328248-33-5 CAPLUS

CN Piperazine, 1-[3-[1,1'-biphenyl]-4-yl-3-[4- (trifluoromethyl)phenoxy]propyl]-4-(2-methoxyphenyl)-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 328248-36-8 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methoxyphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

REFERENCE COUNT:

54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:601312 CAPLUS

DOCUMENT NUMBER: 133:305272

TITLE: Design, synthesis and biological evaluation of new

3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivatives as potential antidepressants with a dual mode of action; serotonin reuptake inhibition and 5-HT1A

receptor antagonism

AUTHOR(S): Oficialdegui, A. M.; Martinez, J.; Perez, S.; Heras,

B.; Irurzun, M.; Palop, J. A.; Tordera, R.; Lasheras,

B.; Del Rio, J.; Monge, A.

CORPORATE SOURCE: Department of Medicinal Chemistry, Centro de

Investigacion en Farmacobiologia Aplicada (CIFA), Universidad de Navarra, Pamplona, 31080, Spain

SOURCE: Farmaco (2000), 55(5), 345-353

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:305272

GΙ

It has been suggested that the combination of a selective serotonin reuptake inhibitor (SSRI) and a 5-HT1A receptor antagonist may facilitate the onset of the SSRIs antidepressant action. Accordingly, we describe the synthesis of a series of new 3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivs. with structural modifications performed in Ar1, Ar2 and Z (Z is different functional groups) to obtain the sought dual activity. Compds. were evaluated for in vitro affinity at 5-HT1A receptors and 5-HT transporter. The antidepressant-like activity of derivs. with the higher affinity was assessed initially using the forced swimming test (FST). Compound 1-(2,4-dimethylphenyl)-3-[(2-methoxyphenyl)piperazin-1-yl]-1-propanone (I) showed the best antidepressant-like activity which was further confirmed in the learned helplessness test.

IT 302561-62-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design, synthesis and antidepressant activity of

[(aryl)piperazinyl]arylpropane derivs.)

RN 302561-62-2 CAPLUS

CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(4-methylphenyl)-3-[4-(trifluoromethyl)phenoxy]propyl]- (CA INDEX NAME)

42

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:655827 CAPLUS

DOCUMENT NUMBER: 121:255827

ORIGINAL REFERENCE NO.: 121:46707a,46710a

TITLE: Preparation of (hetero)arylpropanolamine derivatives

as cerebral calcium overload blockers

INVENTOR(S): Jakobsen, Palle; Kanstrup, Anders; Lundbeck, Jane

Marie

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den. SOURCE: Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 576766	A1	19940105	EP 1992-610053	19920629
R: GB				

EP 1992-610053

19920629

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 121:255827

XR3(R0)CCR4R5CR6R7NR1R2 [ X = Ph optionally substituted with one or more cyano, halo, haloalkyl, alkoxy, alkyl, alkanoyl, alkenyl, aryloxy, aralkoxy, amino, alkyl mono or disubstituted amino, alkanoylamino, carbamoyl, alkyl mono- or disubstituted carbamoyl, alkyl substituted with amino, alkyl mono or disubstituted amino, NO2, morpholino, imidazolyl; R = 3,4-methylenedioxyphenyl, aryl or heteroaryl all of which can be optionally substituted with one or more cyano, halogeno, alkyl, alkoxy, alkenyl, trifluoromethyl, alkylene, aryloxy, aralkoxy, alkylthio; R1, R2 = alkyl, cycloalkyl, alkenyl, cycloalkylalkyl, all of which can be unsubstituted or substituted with alkyl, alkoxy or cyano; R1R2 = 5-, 6- or 7-membered ring containing  $\geq 1$  N atom, or which optionally contains 2 N atoms, one or 2 O atom(s) or one or 2 S atom(s) or a combination thereof, which ring is optionally substituted with alkyl, alkoxy, or aryl; and R3-R7 = H, alkyl, phenyl; R4X = carbocyclic ring containing 5 or 6 atoms; or salts thereof with a pharmaceutically acceptable acid; with provisos], were prepared Thus, 1-(4-cyanophenyl)-3-piperidinylpropan-1-ol was condensed with 4-trifluoromethylbenzotrifluoride using KOCMe3 to give 1-[3-(4-cyanophenyl)-3-(4-trifluoromethylphenoxy)propyl]piperidine, isolated as the oxalate. The latter inhibited stimulated uptake of 45Ca by rat P2 synaptosomal prepns. with  $IC50 = 2.2 \mu g/mL$ , vs. 26  $\mu g/mL$ for nifedipine. Generic I formulations are given.

IT 158545-85-8P 158546-06-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cerebral calcium overload blocker)

RN 158545-85-8 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CH}-\text{O} \\ & \text{Ph} \end{array}$$

RN 158546-06-6 CAPLUS

CN Piperazine, 1-phenyl-4-[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158545-85-8 CMF C26 H27 F3 N2 O

$$\begin{array}{c|c} & \text{Ph} & \\ & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CH}-\text{O} \\ \\ & \text{Ph} & \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

<12/04/2007>

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=> d hs
'HS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
            containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
            its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST;
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<12/04/2007> Erich Leese

TI, IND; TI, SO. You may specify the format fields in any order and the

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All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB):.

## 10/513699

- L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:412461 CAPLUS
- DN 151:496
- TI QSAR study of the 5-HT1A receptor affinities of arylpiperazines using a genetic algorithm-artificial neural network model
- AU Habibi-Yangjeh, Aziz
- CS Department of Chemistry, Faculty of Science, University of Mohaghegh Ardabili, Ardabil, Iran
- SO Monatshefte fuer Chemie (2009), 140(5), 523-530 CODEN: MOCMB7; ISSN: 0026-9247
- PB SpringerWienNewYork
- DT Journal
- LA English
- RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 18:23:43 ON 29 JUN 2009)

FILE 'REGISTRY' ENTERED AT 18:23:49 ON 29 JUN 2009

L1 STRUCTURE UPLOADED

L2 211 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:24:36 ON 29 JUN 2009

L3 17 S L2 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE
ENTRY
SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE -13.94 -13.94

STN INTERNATIONAL LOGOFF AT 18:25:43 ON 29 JUN 2009